

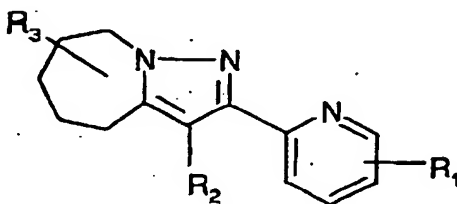
X-16040 (PCT)

10/531111  
JC12 Rec'd PCT/PTC 13 APR 2005

40

## WE CLAIM:

1. A compound according to the structure



Formula 1

wherein  $R_1$  may be one or more optional substituents selected from the group consisting of: (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino, (C1-C6)alkoxycarbonyl, N-(C1-C6)alkylcarbamoyl, N,N-di-[(C1-C6)alkyl]carbamoyl, (C2-C6)alkanoyl, (C2-C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, thiophenyl, aminophenyl, trifluoromethyl, halo, trifluoromethoxy, hydroxymethyl, N-pyrrolidino, N-morpholino, phenylthio, (C1-C4)dialkylaminomethyl, methoxyphenyl, amino, hydroxy, carboxyl, phenyl, arylalkyl;

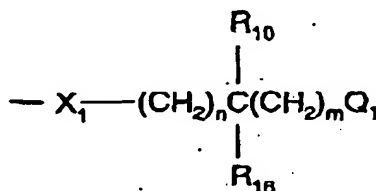
$R_2$  is unsubstituted or substituted quinoline; unsubstituted or substituted quinoline N-oxide; unsubstituted or substituted phenyl; unsubstituted or substituted naphthalene; unsubstituted or substituted pyridine; unsubstituted or substituted pyridine N-oxide; unsubstituted or substituted quinazoline; unsubstituted or substituted cinnoline; unsubstituted or substituted benzodioxole; unsubstituted or substituted benzodioxane; unsubstituted or substituted pyrimidine; unsubstituted or substituted benzothiophene; wherein the substitution may independently be one or more of the following: (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkylhalide, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-

X-16040 (PCT)

41

C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino, (C1-C6)alkoxycarbonyl, N-(C1-C6)alkylcarbamoyl, N,N-di-[(C1-C6)alkyl]carbamoyl, aminooxy, N-(C1-C6)alkyl aminooxy, N,N-di-[(C1-C6)alkyl]aminooxy, (C2-C6)alkanoyl, (C2-C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, sulphamoyl, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, phenyl, thiophenyl, aminophenyl, phenylthio, halo, cyano, pyridinyl, arylalkyl, hydroxy, N-pyrrolidino, N-morpholino, carboxyl, [5-phenyl-1,2,4-oxadiazole-3-yl]methoxy, 6-methyl-pyridazin-3-yloxy, (5-oxo-2-pyrrolidinyl)methoxy, 2-(4,5-dihydro-1H-imidazolyl), N, N-dialkylcarbamoyloxy, 1-hydroxy-1-methylethyl, 4-fluorophenyl, 3,4-methylenedioxyphenyl, trifluoromethyl, trifluoromethoxy,

or a group of the formula:



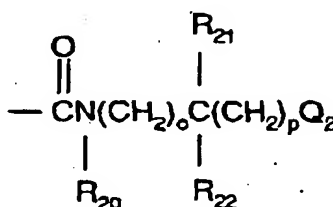
wherein:  $X_1$  is O, N, S,  $SO_2$ ,  $NR_{13}$ , C(O), or bond;  $Q_1$  is hydrogen, phenyl, 5-(2,2-difluoro-1,3-benzodioxolyl), C(O) $Q_5$ , or pyridyl when m and n are independently 0-2, except when one is 0 the other cannot be 0;  $Q_1$  is  $OR_{11}$ ,  $NR_{11}R_{12}$ , halo, N-morpholino, N-piperazino- $N'R_{13}$ , N-imidazolyl, N-pyrazolyl, N-triazolyl, N-(4-piperidinylpiperidine),  $SO_2R_{14}$ ,  $SOR_{14}$ ,  $NHSO_2R_{15}$ , acetamido, N-phthalimido, N-oxazolidino, N-imidazolino, N-benzoxazolidino, N-pyrrolidinonyl, N(N'-methylbenzimidazolino), N,N-di(C1-C4)alkylamino(C1-C4)alkoxy, N-benzimidazolino; when m and n are independently 0-2, but one or the other of m or n is not 0;  $Q_5$  is hydroxy, methoxy, amino, diethylamino, dimethylamino;  $R_{10}$  is hydrogen, halo, (C1-C6)alkyl;  $R_{11}$  and  $R_{12}$  are independently hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, arylalkyl, (C3-C8)cycloalkyl, (C3-C8)cycloalkylmethyl, 4-(N-methylpiperidinyl), or pyridyl;  $R_{13}$  is hydrogen, (C1-C6)alkyl, 2-methoxyphenyl, 2-pyridimidinyl;  $R_{14}$  is 2-pyrimidinyl, N-methyl-2-imidazolyl, 4-

X-16040 (PCT)

42

chlorophenyl, 2-pyridylmethyl;  $R_{15}$  is (C1-C6)alkyl, N-methyl-4-imidazolyl;  $R_{16}$  is hydrogen, halo, arylalkyl, aryl,

or a group of the formula:

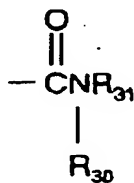


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wherein:  $Q_2$  is hydrogen, 4-imidazolyl, or  $\text{C}(\text{O})\text{NR}_{24}\text{R}_{25}$  when  $o$  and  $p$  are independently 0-2;  $Q_2$  is  $\text{OR}_{23}$ ,  $\text{NR}_{24}\text{R}_{25}$ , or N-morpholino, when  $o$  and  $p$  are independently 0-2, but one or the other of  $o$  or  $p$  is not 0;  $R_{20}$  is hydrogen, or (C1-C6)alkyl;  $R_{21}$  is hydrogen or (C1-C6)alkyl;  $R_{22}$  is hydrogen, (C1-C6)alkyl, arylalkyl, or aryl;  $R_{23}$  is hydrogen or (C1-C6)alkyl;  $R_{24}$  is hydrogen or (C1-C6)alkyl;  $R_{25}$  is hydrogen, (C1-C6)alkyl, or acetyl.

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or a group of the formula:



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wherein:  $R_{30}$  is hydrogen, or (C1-C6)alkyl;  $R_{31}$  is hydrogen, (C1-C6)alkyl, 2-pyridyl, pyridylmethyl, amino, or hydroxy,

X-16040 (PCT)

43

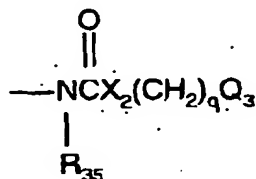
or a group of the formula:



wherein:  $R_{32}$  and  $R_{33}$  are each independently hydrogen, (C1-C6)alkyl, acetyl or (C1-C4)alkylsulphonyl,

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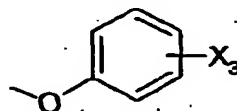
or a group of the formula:



wherein:  $X_2$  is  $\text{CH}_2$ , O, or N;  $q$  is 0-3;  $\text{Q}_3$  is  $\text{NR}_{36}\text{R}_{37}$ , or  $\text{OR}_{38}$ , and  $\text{R}_{35}$  is hydrogen;  $\text{R}_{36}$ ,  $\text{R}_{37}$ , and  $\text{R}_{38}$  are each independently hydrogen, or (C1-C6)alkyl,

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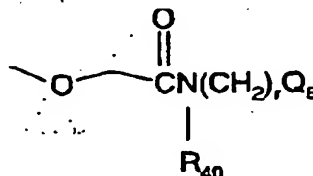
or a group of the formula:



wherein:  $X_3$  is cyano, carboxamide, N,N-dimethylcarboxamide, N,N-dimethylthiocarboxamide, N,N-dimethylaminomethyl, 4-methylpiperazin-1-yl-methyl or carboxylate,

15

or a group of the formula:



wherein:  $\text{Q}_6$  is  $\text{NR}_{41}\text{R}_{42}$ ;  $r$  is 2-3;  $\text{R}_{40}$  is hydrogen, or (C1-C6)alkyl;  $\text{R}_{41}$  and  $\text{R}_{42}$  are hydrogen or (C1-C6)alkyl,

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X-16040 (PCT)

44

or a group of the formula:

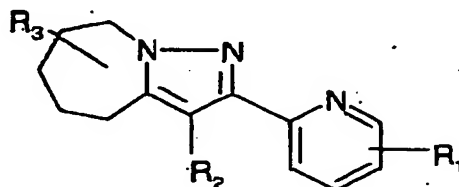


wherein: Q<sub>7</sub> is hydroxy, methoxy, dimethylamino, or N-piperidinyl;

- 5 R<sub>3</sub> may be one or more optional substituents selected from the group consisting of (C1-C6 alkyl);  
and the pharmaceutically acceptable salts thereof.

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2. A compound according to the structure:



Formula 1

- 15 wherein R<sub>1</sub> may be one or more optional substituents selected from the group consisting of: (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino, (C1-C6)alkoxycarbonyl, N-(C1-C6)alkylcarbamoyl, N,N-di-[(C1-C6)alkyl]carbamoyl, (C2-C6)alkanoyl, (C2-  
20 C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, thiophenyl, aminophenyl, trifluoromethyl, halo,  
25 trifluoromethoxy, hydroxymethyl, N-pyrrolidino, N-morpholino, phenylthio, (C1-C4)dialkylaminomethyl, methoxyphenyl, amino, hydroxy, carboxyl, phenyl, arylalkyl;

R<sub>2</sub> is substituted or unsubstituted quinoline; substituted or unsubstituted quinoline N-oxide;

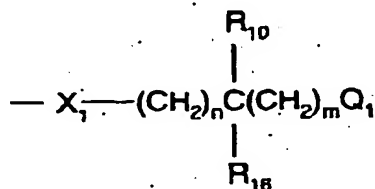
X-16040 (PCT)

45

wherein the substitution may independently be one or more of the following:

- (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6) alkylhalide, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino, (C1-C6)alkoxycarbonyl, N-(C1-C6)alkylcarbonyl, N,N-di-[(C1-C6)alkyl]carbonyl, aminooxy, N-(C1-C6)alkyl aminooxy, N,N-di-[(C1-C6)alkyl]aminooxy, (C2-C6)alkanoyl, (C2-C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, sulphamoyl, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, phenyl, thiophenyl, aminophenyl, phenylthio, halo, cyano, pyridinyl, arylalkyl, hydroxy, N-pyrrolidino, N-morpholino, carboxyl, [5-phenyl-1,2,4-oxadiazole-3-yl]methoxy, 6-methyl-pyridazin-3-yloxy, (5-oxo-2-pyrrolidinyl)methoxy, 2-(4,5-dihydro-1H-imidazolyl), N, N-dialkylcarbonyloxy, 1-hydroxy-1-methylethyl, 4-fluorophenyl, 3,4-methylenedioxyphenyl, trifluoromethyl, trifluoromethoxy,

or a group of the formula :



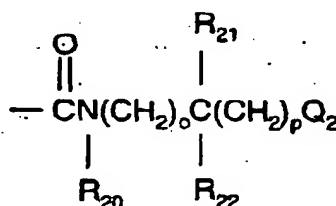
- wherein:  $X_1$  is O, N, S,  $\text{SO}_2$ ,  $\text{NR}_{13}$ , C(O), or bond;  $Q_1$  is hydrogen, phenyl, 5-(2,2-difluoro-1,3-benzodioxolyl), C(O) $Q_5$ , or pyridyl when m and n are independently 0-2, except when one is 0 the other cannot be 0;  $Q_1$  is  $\text{OR}_{11}$ ,  $\text{NR}_{11}\text{R}_{12}$ , halo, N-morpholino, N-piperazino-N' $\text{R}_{13}$ , N-imidazolyl, N-pyrazolyl, N-triazolyl, N-(4-piperidinylpiperidine),  $\text{SO}_2\text{R}_{14}$ ,  $\text{SOR}_{14}$ ,  $\text{NHSO}_2\text{R}_{15}$ , acetamido, N-phthalimido, N-oxazolidino, N-imidazolino, N-benzoxazolidino, N-pyrrolidinonyl, N(N'-methylbenzimidazolino), N,N-di(C1-C4)alkylamino(C1-C4)alkoxy, N-benzimidazolino; when m and n are independently 0-2, but one or the other of m or n is not 0;  $Q_5$  is hydroxy, methoxy, amino, diethylamino, dimethylamino;  $\text{R}_{10}$  is hydrogen, halo, (C1-C6)alkyl;  $\text{R}_{11}$  and  $\text{R}_{12}$  are independently

X-16040 (PCT)

46

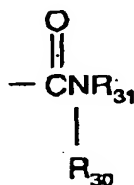
hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, arylalkyl, (C3-C8)cycloalkyl, (C3-C8)cycloalkylmethyl, 4-(N-methylpiperidinyl) or pyridyl; R<sub>13</sub> is hydrogen, (C1-C6)alkyl, 2-methoxyphenyl, 2-pyridimidinyl; R<sub>14</sub> is 2-pyrimidinyl, N-methyl-2-imidazolyl, 4-chlorophenyl, 2-pyridylmethyl; R<sub>15</sub> is (C1-C6)alkyl, N-methyl-4-imidazolyl; R<sub>16</sub> is  
 5 hydrogen, halo, arylalkyl, aryl,

or a group of the formula:



wherein: Q<sub>2</sub> is hydrogen, 4-imidazolyl, or C(O)NR<sub>24</sub>R<sub>25</sub> when o and p are independently  
 10 0-2; Q<sub>2</sub> is OR<sub>23</sub>, NR<sub>24</sub>R<sub>25</sub>, or N-morpholino, when o and p are independently 0-2, but one  
 or the other of o or p is not 0; R<sub>20</sub> is hydrogen, or (C1-C6)alkyl; R<sub>21</sub> is hydrogen or (C1-C6)alkyl; R<sub>22</sub> is hydrogen, (C1-C6)alkyl, arylalkyl or aryl; R<sub>23</sub> is hydrogen or (C1-C6)alkyl; R<sub>24</sub> is hydrogen or (C1-C6)alkyl; R<sub>25</sub> is hydrogen, (C1-C6)alkyl, or acetyl,

15 or a group of the formula:



wherein: R<sub>30</sub> is hydrogen, or (C1-C6)alkyl; R<sub>31</sub> is hydrogen, (C1-C6)alkyl, 2-pyridyl, pyridylmethyl, amino, or hydroxy,

20 or a group of the formula:



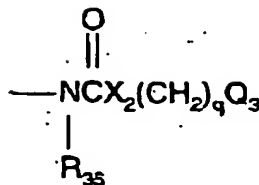
wherein: R<sub>32</sub> and R<sub>33</sub> are each independently hydrogen, (C1-C6)alkyl, acetyl or (C1-C4)alkylsulphonyl,

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X-16040 (PCT)

47

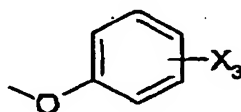
or a group of the formula:



wherein:  $\text{X}_2$  is  $\text{CH}_2$ , O, or N;  $q$  is 0-3;  $\text{Q}_3$  is  $\text{NR}_{36}\text{R}_{37}$ , or  $\text{OR}_{38}$ , and  $\text{R}_{35}$  is hydrogen;  $\text{R}_{36}$ ,  $\text{R}_{37}$ , and  $\text{R}_{38}$  are each independently hydrogen, or (C1-C6)alkyl,

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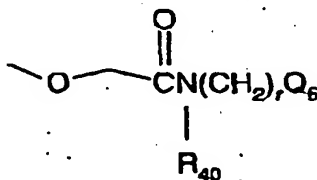
or a group of the formula:



wherein:  $\text{X}_3$  is cyano, carboxamide,  $\text{N,N}$ -dimethylcarboxamide,  $\text{N,N}$ -dimethylthiocarboxamide,  $\text{N,N}$ -dimethylaminomethyl, 4-methylpiperazin-1-yl-methyl or carboxylate,

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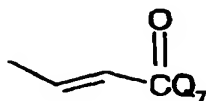
or a group of the formula:



wherein:  $\text{Q}_6$  is  $\text{NR}_{41}\text{R}_{42}$ ;  $r$  is 2-3;  $\text{R}_{40}$  is hydrogen, or (C1-C6)alkyl;  $\text{R}_{41}$  and  $\text{R}_{42}$  are hydrogen or (C1-C6)alkyl,

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or a group of the formula:



wherein:  $\text{Q}_7$  is hydroxy, methoxy, dimethylamino, or N-piperidinyl;

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$\text{R}_3$  may be one or more optional substituents selected from the group consisting of (C1-C6 alkyl);

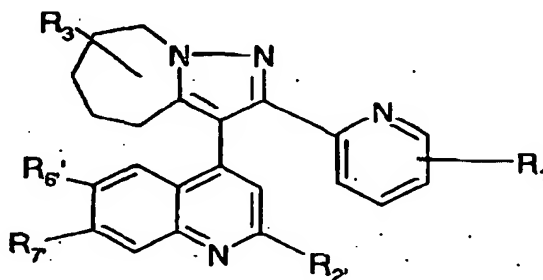


X-16040 (PCT)

48

and the pharmaceutically acceptable salts thereof.

3. A compound according to claim 2 of the formula:



Formula II

wherein  $R_1$  may be one or more optional substituents selected from the group consisting of: (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino, (C1-C6)alkoxycarbonyl, N-(C1-C6)alkylcarbonyl, N,N-di-[(C1-C6)alkyl]carbonyl, (C2-C6)alkanoyl, (C2-C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, thiophenyl, aminophenyl, trifluoromethyl, halo, trifluoromethoxy, hydroxymethyl, N-pyrrolidino, N-morpholino, phenylthio, dialkylaminomethyl, methoxyphenyl, amino, hydroxy, carboxyl, phenyl, arylalkyl;

$R_3$  may be one or more optional substituents selected from the group consisting of (C1-C6 alkyl);

$R_2$  is hydrogen; (C1-C6)alkyl; (C1-C6)alkylthio; (C1-C6)alkoxy; halo; thiophenyl; aminophenyl; N-pyrrolidino; N-morpholino;

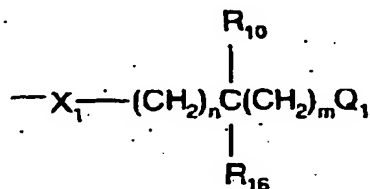
$R_6'$  and  $R_7$  are independently one or more of the following: hydrogen, (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C1-C6)alkylhalide, (C1-C6)alkoxy, (C2-C6)alkenyloxy, (C2-C6)alkynyloxy, (C1-C6)alkylthio, (C1-C6)alkylsulphinyl, (C1-C6)alkylsulphonyl, (C1-C6)alkylamino, di-[(C1-C6)alkyl]amino; (C1-

X-16040 (PCT)

49

C6)alkoxycarbonyl, N-(C1-C6)alkylcarbamoyl, N,N-di-[(C1-C6)alkyl]carbamoyl, aminooxy, N-(C1-C6)alkyl aminooxy, N,N-di-[(C1-C6)alkyl]aminooxy, (C2-C6)alkanoyl, (C2-C6)alkanoyloxy, (C2-C6)alkanoylamino, N-(C1-C6)alkyl-(C2-C6)alkanoylamino, (C3-C6)alkenoylamino, N-(C1-C6)alkyl-(C3-C6)alkenoylamino, (C3-  
 5 C6)alkynoylamino, N-(C1-C6)alkyl-(C3-C6)alkynoylamino, sulphamoyl, N-(C1-C6)alkylsulphamoyl, N,N-di-[(C1-C6)alkyl]sulphamoyl, (C1-C6)alkanesulphonylamino, N-(C1-C6)alkyl-(C1-C6)alkanesulphonylamino, carboxamide, phenyl, thiophenyl, aminophenyl, phenylthio, halo, cyano, pyridinyl, arylalkyl, hydroxy, N-pyrrolidino, N-morpholino, carboxyl, [5-phenyl-1,2,4-oxadiazole-3-yl]methoxy, 6-methyl-pyridazin-3-  
 10 yloxy, (5-oxo-2-pyrrolidinyl)methoxy, 2-(4,5-dihydro-1H-imidazolyl), N, N-dialkylcarbamoyloxy, 1-hydroxy-1-methylethyl, 4-fluorophenyl, 3,4-methylenedioxyphenyl, trifluoromethyl, trifluoromethoxy,

or a group of the formula:



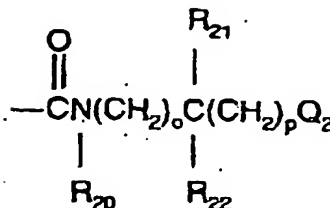
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wherein: X<sub>1</sub> is O, N, S, SO<sub>2</sub>, NR<sub>13</sub>, C(O), or bond; Q<sub>1</sub> is hydrogen, phenyl, 5-(2,2-difluoro-1,3-benzodioxolyl), C(O)Q<sub>5</sub>, or pyridyl when m and n are independently 0-2, except when one is 0 the other cannot be 0; Q<sub>1</sub> is OR<sub>11</sub>, NR<sub>11</sub>R<sub>12</sub>, halo, N-morpholino, N-piperazino-N'R<sub>13</sub>, N-imidazolyl, N-pyrazolyl, N-triazolyl, N-(4-piperidinylpiperidine),  
 20 SO<sub>2</sub>R<sub>14</sub>, SOR<sub>14</sub>, NHSO<sub>2</sub>R<sub>15</sub>, acetamido, N-phthalimido, N-oxazolidino, N-imidazolino, N-benzoxazolidino, N-pyrrolidinonyl, N(N'-methylbenzimidazolino), N,N-di(C1-C4)alkylamino(C1-C4)alkoxy, N-benzimidazolino; when m and n are independently 0-2, but one or the other of m or n is not 0; Q<sub>5</sub> is hydroxy, methoxy, amino, diethylamino, dimethylamino; R<sub>10</sub> is hydrogen, halo, (C1-C6)alkyl; R<sub>11</sub> and R<sub>12</sub> are independently  
 25 hydrogen, (C1-C6)alkyl, (C1-C6)alkoxy, arylalkyl, cycloalkyl, cycloalkylmethyl, 4-(N-methylpiperidinyl) or pyridyl; R<sub>13</sub> is hydrogen, (C1-C6)alkyl, 2-methoxyphenyl; R<sub>14</sub> is 2-pyrimidinyl, N-methyl-2-imidazolyl, 4-chlorophenyl, 2-pyridylmethyl; R<sub>15</sub> is (C1-C6)alkyl, N-methyl-4-imidazolyl; R<sub>16</sub> is hydrogen, halo, arylalkyl, aryl,

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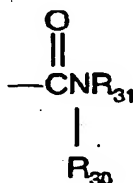
50

or a group of the formula:



- wherein:  $\text{Q}_2$  is hydrogen, 4-imidazolyl, or  $\text{C}(\text{O})\text{NR}_{24}\text{R}_{25}$  when  $o$  and  $p$  are independently 0-2;  $\text{Q}_2$  is  $\text{OR}_{23}$ ,  $\text{NR}_{24}\text{R}_{25}$ , or  $N$ -morpholino, when  $o$  and  $p$  are independently 0-2, but one or the other of  $o$  or  $p$  is not 0;  $\text{R}_{20}$  is hydrogen, or (C1-C6)alkyl;  $\text{R}_{21}$  is hydrogen or (C1-C6)alkyl;  $\text{R}_{22}$  is hydrogen, (C1-C6)alkyl, arylalkyl or aryl;  $\text{R}_{23}$  is hydrogen or (C1-C6)alkyl;  $\text{R}_{24}$  is hydrogen, (C1-C6)alkyl;  $\text{R}_{25}$  is hydrogen, (C1-C6)alkyl, or acetyl,

- 10 or a group of the formula:



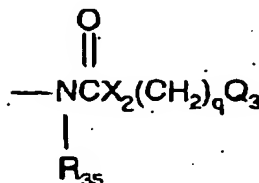
wherein:  $\text{R}_{30}$  is hydrogen, or (C1-C6)alkyl;  $\text{R}_{31}$  is hydrogen, (C1-C6)alkyl, 2-pyridyl, pyridylmethyl, amino, or hydroxy;

- 15 or a group of the formula:



wherein:  $\text{R}_{32}$  and  $\text{R}_{33}$  are each independently hydrogen, (C1-C6)alkyl, acetyl or alkylsulphonyl,

- 20 or a group of the formula:

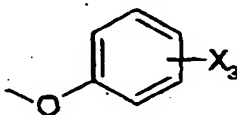


X-16040 (PCT)

51

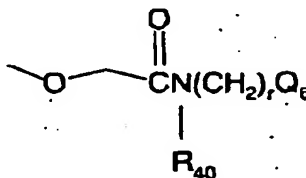
wherein:  $X_2$  is  $CH_2$ , O, or N;  $q$  is 0-3;  $Q_3$  is  $NR_{36}R_{37}$  or  $OR_{38}$ ;  $R_{35}$  is hydrogen;  $R_{36}$ ,  $R_{37}$ , and  $R_{38}$  are each independently hydrogen, or (C1-C6)alkyl,

or a group of the formula:



wherein:  $X_3$  is cyano, carboxamide, N,N-dimethylcarboxamide, N,N-dimethylthiocarboxamide, N,N-dimethylaminomethyl, 4-methylpiperazin-1-yl-methyl or carboxylate,

or a group of the formula:



wherein:  $Q_6$  is  $NR_{41}R_{42}$ ;  $r$  is 2-3;  $R_{40}$  is hydrogen, or (C1-C6)alkyl;  $R_{41}$  and  $R_{42}$  are hydrogen or (C1-C6)alkyl,

or a group of the formula:



wherein:  $Q_7$  is hydroxy, methoxy, dimethylamino, or N-piperidinyl;

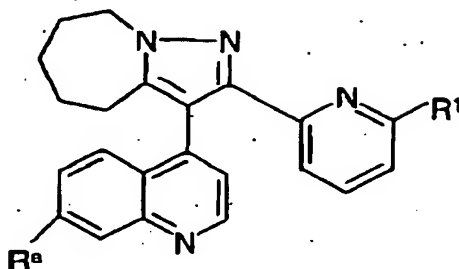
and the pharmaceutically acceptable salts thereof.

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X-16040 (PCT)

52

4. A compound of the formula:



Formula III

5 wherein

R<sup>1</sup> is hydrogen or methyl;

R<sup>a</sup> is hydroxy, (C1-C4)alkoxy; or -O(CH<sub>2</sub>)<sub>2</sub>N-morpholino;

and the pharmaceutically acceptable salts thereof.

- 10 5. A compound according to claim 1 selected from the group consisting of:
- a. 3-quinolin-4-yl-2-pyridin-2-yl-5,6,7,8-tetrahydro-4H-pyrazolo[1,5-a]azepine.
- b. (7-Methoxy-quinolin-4-yl)-2-pyridin-2-yl-5,6,7,8-tetrahydro-4H-pyrazolo[1,5a]azepine.
- 15 c. 4-(2-Pyridin-2-yl-5,6,7,8-tetrahydro-4H-pyrazolo[1,5-a]azepin-3-yl)-quinolin-7-ol.
- d. 3-[7-(2-Morpholin-4-yl-ethoxy)-quinolin-4-yl]-2-pyridin-2-yl-5,6,7,8-tetrahydro-4H-pyrazolo[1,5-a]azepine.

20

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